

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
15 September 2005 (15.09.2005)

PCT

(10) International Publication Number
WO 2005/084368 A2

(51) International Patent Classification: Not classified

(21) International Application Number:
PCT/US2005/006983

(22) International Filing Date: 2 March 2005 (02.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/549,439 2 March 2004 (02.03.2004) US

(71) Applicant (for all designated States except US): NEURO-GEN CORPORATION [US/US]; 35 Northeast Industrial Road, Branford, CT 06405 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): HODGETTS, Kevin, J. [GB/US]; 224 Reservoir Road, Kilingworth, CT 06419 (US). DE LOMBAERT, Stéphane [BE/US]; 37 Concord Drive, Madison, CT 06443 (US).

(74) Agents: ALEXANDER, John, B. et al.; Edwards & Angell, LLP, P.O. Box 55874, Boston, MA 02205 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:

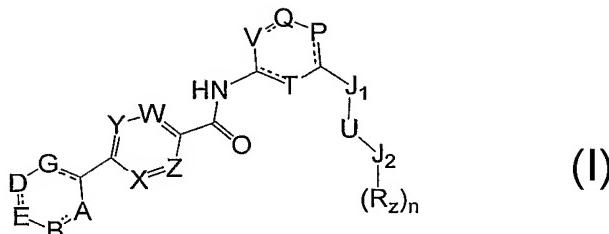
— of inventorship (Rule 4.17(iv)) for US only

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: HETEROALKYL-SUBSTITUTED BIPHENYL-4-CARBOXYLIC ACID ARYLAMIDE ANALOGUES



(57) Abstract: Heteroalkyl-substituted biphenyl-4-carboxylic acid arylamide analogues are provided, of the formula: wherein variables are as described herein. Such compounds are ligands that may be used to modulate specific receptor activity *in vivo* or *in vitro*, and are particularly useful in the treatment of conditions associated with pathological receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical

WO 2005/084368 A2

compositions and methods for using such compounds to treat such disorders are provided, as are methods for using such ligands for receptor localization studies.